

PATENT SPECIFICATION

NO DRAWINGS

857.080



Date of Application and filing Complete Specification: May 28, 1957.

No. 16962/51.

Application made in Mexico on May 29, 1956.

Complete Specification Published: Dec. 29, 1960.

Index at acceptance:—Class 2(3), U2, U4(A1: A2: C2: C4: C5: X), U6.

International Classification:—C07c.

COMPLETE SPECIFICATION

Cyclopentanophenanthrene Derivatives and process for the Production thereof

- We, SYNTEX S.A., Apartado Postal 2679, Mexico City, Mexico, a Corporation of Mexico, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed to be particularly described in and by the following statement:—
- The present invention relates to cyclopentanophenanthrene compounds and to a process for the production thereof.
- The present invention relates especially to novel 1,2 - dimethyl estrone and estradiol derivatives and to a novel process for the production thereof. The novel compounds of the present invention are estrogenic hormones generally suitable for the treatment of prostate cancers i.e. they show weak estrogenic activity together with anti - androgenic activity. They also lower blood cholesterol levels.
- In our U.K. Specification 853,291, there is disclosed the production of the novel 2 α - methyl testosterone. In accordance with the present invention it has been discovered that this compound upon treatment with an oxidizing agent capable of oxidizing the 17 - hydroxyl group to a keto group yields the novel intermediate and androgenic hormone 2 α - methyl - Δ^4 - androstene - 3,17 - dione.
- Further this last mentioned compound upon treatment with approximately 2 mols of bromine gives the novel intermediate 2 α - methyl - 2,6 - dibromo - Δ^4 - androstene - 3,17 - dione which yields the novel 2 α - methyl - $\Delta^{1,4,6}$ - androstatriene - 3,17 - dione upon treatment with a dehydrohalogenating agent. Finally the $\Delta^{1,4,6}$ - triene derivative is treated with a lower fatty acid anhydride to rearrange and form the 3 - lower fatty acid ester of 1,2 - dimethyl - 6 - dehydro - estrone and the last mentioned compound saponified.
- From this last mentioned compound there may be prepared other novel 1,2 - dimethyl estrone and estradiol derivatives, viz. 1,2 - dimethyl - 6 - dehydro - estradiol, 1,2 - dimethyl - 17 α - ethinyl - 6 - dehydro - estradiol, 1,2 - dimethyl - estrone, 1,2 - dimethyl - estradiol and 1,2 - dimethyl - 17 α - ethinyl - estradiol. From these compounds by conventional means there may also be prepared their novel esters with hydrocarbon carboxylic acids of less than 12 carbon atoms.
- The novel 1,2 - dimethyl estrone and estradiol derivatives of the present invention may therefore be represented by the following formulae:

[Price 3s. 6d.]

Price 4s. 6d